=> file caplus FILE 'CAPLUS' ENTERED AT 14:17:54 ON 22 NOV 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 22 Nov 2005 VOL 143 ISS 22 FILE LAST UPDATED: 21 Nov 2005 (20051121/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> d que

L5 STR

$$\begin{array}{c} H \\ \\ H \\ \\ N \end{array}$$

G1 SO2,0,S

G2 C, O, S, N, X, Cb, CF3, OH, CN, NH2

G3 Ak, X, ON, OH, MeO, NH2

Structure attributes must be viewed using STN Express query preparation.

L7 232 SEA FILE=REGISTRY SSS FUL L5

L8 5 SEA FILE=CAPLUS L7

=> d 18 1-5 ibib abs hitstr

L8 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:493684 CAPLUS

DOCUMENT NUMBER: 141:54327

TITLE: Preparation of pyrazole derivatives useful as COX-1

inhibitors

INVENTOR(S): Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko;

Okumura, Kazuo; Nakamura, Katsuya

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 436 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

Fildi

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA	TENT :	NO.			KIN		DATE		APPLICATION NO.					DATE				
WO	WO 2004050632						WO 2003-JP14489				20031114							
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	ВВ,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PG,	
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,	TN,	TR,	
		TT,	TZ,	UA,	ŪĠ,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
		BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
CA	2505	945			AA 20040617				CA 2003-2505945				20031114					
EP	1567	503			A1 20050831				EP 2003-812289				20031114					
	R:	AT,	BE,	CH,	DΕ,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK		
BR	2003	0163	32		Α		2005	0927	BR 2003-16332				20031114					
PRIORIT	PRIORITY APPLN. INFO.:							AU 2002-953019			19	A 20021202						
									i	AU 2	002-	9536	02	i	A 2	0021	230	
										AU 2	003-	9020	15	1	A 2	0030	429	
									ļ	WO 2	003-	JP14	489	Ī	W 2	0031	114	
OTHER SOURCE(S):				MARPAT 141:54327														

GI

$$\begin{array}{c|c}
R^4 - z - x \\
 & \\
N \\
N \\
R^2
\end{array}$$

AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc.; R4 = H, CN, OH, etc.; X = 0, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

TT 705933-39-7P 705933-40-0P 705933-43-3P 705933-44-4P 705933-54-6P 705933-55-7P 705933-56-8P 705933-61-5P 705933-62-6P 705933-77-3P 705933-78-4P 705933-91-1P

Ι

705934-11-8P 705934-12-9P 705934-18-5P 705934-71-0P 705934-78-7P 705934-81-2P 705934-83-4P 705935-01-9P 705935-20-2P 705935-38-2P 705935-39-3P 705935-62-2P 705935-72-4P 705935-73-5P 705935-76-8P 705935-78-0P 705935-81-5P 705935-87-1P 705936-89-6P 705937-04-8P 705937-90-2P 705937-91-3P 705937-94-6P 705937-95-7P 705938-12-1P 705938-44-9P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of pyrazole derivs. useful as COX-1 inhibitors) RN705933-39-7 CAPLUS Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-CN yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705933-40-0 CAPLUS
CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 705933-43-3 CAPLUS
CN Carbamic acid, [2-[4-[3-(1-hydroxy-1-methylethyl)-1-(4-methoxyphenyl)-1H pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX
 NAME)

OMe
$$O-CH_2-CH_2-NH-C-OBu-t$$

$$N$$

$$Me-C-Me$$

$$O$$

$$O$$

RN 705933-44-4 CAPLUS

CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & \text{O} & \text{O} \\ & \text{O} \\ & \text{N} \\ & \text{N} \\ & \text{Me-C} \\ & \text{CH}_2 \\ & \text{CH}_2 \\ \end{array}$$

RN 705933-54-6 CAPLUS

CN Carbamic acid, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705933-55-7 CAPLUS

CN 1H-Pyrazol-3-amine, 5-[4-(2-aminoethoxy)phenyl]-1-(4-methoxyphenyl)-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 705933-56-8 CAPLUS
CN Urea, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

OMe
$$O-CH_2-CH_2-NH-C-NH_2$$

$$Me_2N$$

RN 705933-61-5 CAPLUS

CN Carbamic acid, [2-[4-[3-chloro-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705933-62-6 CAPLUS

CN Ethanamine, .2-[4-[3-chloro-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 705933-77-3 CAPLUS

CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethoxy)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705933-78-4 CAPLUS

CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(1-methylethoxy)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \\ \text{O} \\ \text{CH}_2 - \text{CH}_2 - \text{NH}_2 \\ \\ \text{i-Pro} \end{array}$$

● HCl

RN 705933-91-1 CAPLUS

CN Benzeneethanamine, 4-[1-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 705934-11-8 CAPLUS

CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705934-12-9 CAPLUS

CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 705934-18-5 CAPLUS
CN Urea, [2-[4-[1-(4-hydroxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 705934-71-0 CAPLUS

CN Benzeneethanamine, 4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \\ \text{CH}_2-\text{CH}_2-\text{NH}_2 \\ \\ \text{F}_3\text{C} \end{array}$$

● HCl

RN 705934-78-7 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-[4-(2-aminoethyl)phenyl]-N-ethyl-1-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \\ \text{CH}_2 - \text{CH}_2 - \text{NH}_2 \\ \\ \text{N} \\ \\ \text{Et} - \text{N} - \text{C} \\ \\ \\ \text{Me} \text{ O} \end{array}$$

RN 705934-81-2 CAPLUS

CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705934-83-4 CAPLUS

CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705935-01-9 CAPLUS

CN Sulfamide, [2-[4-[3-cyclopropy]-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 705935-20-2 CAPLUS

CN Carbamic acid, [2-[[2-[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]ethyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

yl]phenoxy] - (9CI) (CA INDEX NAME)

OMe
$$O-CH_2-CH_2-NH_2$$

$$F_2CH$$

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:493568 CAPLUS

DOCUMENT NUMBER: 141:54325

TITLE: Preparation of pyrazole derivatives useful as COX-1

inhibitors

INVENTOR(S): Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko;

Okumura, Kazuo; Nakamura, Katsuya

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: U.S. Pat. Appl. Publ., 142 pp.

I

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 2004116475	A1	20040617	US 2003-706999		20031114
PRIORITY APPLN. INFO.:			AU 2002-953019	Α	20021202
			AU 2002-953602	Α	20021230
			AU 2003-902015	Α	20030429
OTHER SOURCE(S):	MARPAT	141:54325			

$$\begin{array}{c|c}
R^4 - z - x \\
 & \\
R^3 \\
 & \\
Y
\end{array}$$

$$\begin{array}{c}
R^1 \\
 & \\
R^2
\end{array}$$

AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc.; R4 = H, CN, OH, etc.; X = 0, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX,

```
particularly a selective inhibiting activity against COX-1 (data for
     representative compds. I is given). The pharmaceutical composition comprising
     the compound I is claimed.
IT
     705933-39-7P 705933-40-0P 705933-43-3P
     705933-44-4P 705933-54-6P 705933-55-7P
     705933-56-8P 705933-61-5P 705933-62-6P
     705933-77-3P 705933-78-4P 705933-91-1P
     705934-11-8P 705934-12-9P 705934-18-5P
     705934-71-0P 705934-78-7P 705934-81-2P
     705934-83-4P 705935-01-9P 705935-20-2P
     705935-38-2P 705935-39-3P 705935-62-2P
     705935-72-4P 705935-73-5P 705935-76-8P
     705935-78-0P 705935-81-5P 705935-87-1P
     705936-89-6P 705937-04-8P 705937-90-2P
     705937-91-3P 705937-94-6P 705937-95-7P
     705938-12-1P 705938-44-9P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of pyrazole derivs. useful as COX-1 inhibitors)
RN
     705933-39-7 CAPLUS
CN
     Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-
     yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
```

RN 705933-40-0 CAPLUS
CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN

CN Carbamic acid, [2-[4-[3-(1-hydroxy-1-methylethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

OMe
$$O-CH_2-CH_2-NH-C-OBu-t$$

$$N$$

$$Me-C-Me$$

$$OH$$

RN 705933-44-4 CAPLUS

CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \\ \text{O} \\ \\ \text{O} \\ \text{CH}_2 \\ \end{array}$$

RN 705933-54-6 CAPLUS

CN Carbamic acid, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

OMe
$$O-CH_2-CH_2-NH-C-OBu-t$$

$$Me_2N$$

RN 705933-55-7 CAPLUS

CN 1H-Pyrazol-3-amine, 5-[4-(2-aminoethoxy)phenyl]-1-(4-methoxyphenyl)-N,N-

dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

OMe O-CH₂-CH₂-NH₂

$$N$$

$$N$$

$$N$$

$$N$$

HCl

RN 705933-56-8 CAPLUS
CN Urea, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

OMe
$$O-CH_2-CH_2-NH-C-NH_2$$

$$Me_2N$$

RN 705933-61-5 CAPLUS
CN Carbamic acid, [2-[4-[3-chloro-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705933-62-6 CAPLUS
CN Ethanamine, 2-[4-[3-chloro-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 705933-77-3 CAPLUS

CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethoxy)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705933-78-4 CAPLUS

CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(1-methylethoxy)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

yl]phenoxy] - (9CI) (CA INDEX NAME)

OMe
$$O-CH_2-CH_2-NH_2$$

$$F_2CH$$

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:836766 CAPLUS

DOCUMENT NUMBER:

139:350731

TITLE:

Preparation of 1-phenyl-1H-pyrazoles for inducing

apoptosis in proliferating cells

INVENTOR(S):

Chen, Ching-shin; Song, Xueqin; Lin, Ho-pi

PATENT ASSIGNEE(S):

The Ohio State University Research Foundation, USA

SOURCE:

PCT Int. Appl., 83 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	rent 1	NO.			KIN	D	DATE		1	APPL	ICAT:	ION I	NO.	٠	D	ATE		
		2003						2003		1	WO 2	003-1	JS10	738		2	0030	408	
	WO																		
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,	
								MD,										-	
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	
			TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
			KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
			FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
	CA	2485	679			AA 20031023				CA 2003-2485679					20030408				
	US	2003	2362	94		A1		2003	1225	1	US 2	003-4	1095	02		2	0030	408	
	ΕP	1499	597			A2		2005	0126]	EP 2	003-	7239:	36		2	0030	408	
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK		
	JP	2005	5283	84		T2		2005	0922		JP 2	003-	5833	14		2	0030	408	
PRIOF	RITY	(APP	LN.	INFO	. :					1	US 2	002-3	3706	54P	1	P 2	0020	408	
										1	WO 2	003-t	JS10'	738	,	W 2	0030	408	
OTHER	R SC	URCE	(S):			MAR	PAT	139:	35073										

GI

$$R^2$$
 $N-N$
 $N-N$
 R^3
 $N-N$
 SO_2-NH_2
 II

AB Title compds. I [wherein R1 = carboxamido; R2 = (halo)alkyl; Ar = (un) substituted Ph biphenyl, naphthyl, anthryl, phenanthrenyl, or fluorenyl; and pharmaceutically acceptable salts thereof] were prepared and tested for their effects on cyclooxygenase-2 (COX-2) activity, the viability of human prostate cancer PC-3 cells, and their ability to induce apoptosis in these cells. For example, Claisen condensation of 2-acetylphenanthrene with Et trifluoroacetate in the presence of NaH afforded the 1,3-keto-enol derivative (95%). Reaction with (4-sulfamoylphenyl)hydrazine•HCl in EtOH gave 4-[5-(2-phenanthrenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide (II) in 65% yield. structure-activity anal. of derivs. of the COX-2 inhibitor celecoxib found no correlation between the COX-2 inhibitory and apoptosis-inducing activities. For instance, increased polarity or bulkiness of the terminal Ph ring reduced the ability of compds. to inhibit COX-2, while a certain degree of bulkiness and hydrophobicity in the substituted Ph ring was highly desirable for apoptosis induction in PC-3 cells. Thus, I are useful for inducing apoptosis in proliferating cells, particularly cancer cells, including but not limited to prostate cancer, leukemia, non-small cell lung cancer, colon cancer, CNS cancer, melanoma, ovarian cancer, renal cancer, bladder cancer, lymphoma, and breast cancer. These compds. are particularly useful in the treatment of androgen-independent cancers, including hormone-refractory prostate cancer.

IT 618069-19-5P 618069-20-8P 618069-21-9P 618069-23-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiproliferative agent; preparation of 1-Ph-1H-pyrazoles for inducing apoptosis in proliferating cells)

RN 618069-19-5 CAPLUS

CN Benzamide, 4-[5-[4-(2-azidoethyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 618069-20-8 CAPLUS

CN Benzamide, 4-[5-[4-(3-azidopropyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 618069-21-9 CAPLUS

CN Benzamide, 4-[5-[4-(4-azidobutyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 618069-23-1 CAPLUS

CN Benzamide, 4-[5-[4'-(azidomethyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

2002:183105 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 137:41847

Antagonists selective for estrogen receptor α TITLE: AUTHOR(S): Sun, Jun; Huang, Ying R.; Harrington, William R.;

Sheng, Shubin; Katzenellenbogen, John A.;

Katzenellenbogen, Benita S.

Departments of Molecular and Integrative Physiology, CORPORATE SOURCE:

University of Illinois and University of Illinois

College of Medicine, Urbana, IL, 61801, USA

SOURCE: Endocrinology (2002), 143(3), 941-947

CODEN: ENDOAO; ISSN: 0013-7227

PUBLISHER: Endocrine Society

DOCUMENT TYPE: Journal LANGUAGE: English

To develop compds. that are antagonists on $ER\alpha$, but not $ER\beta$, we have added basic side-chains typically found in nonsteroidal antiestrogens to pyrazole compds. that bind with much higher affinity to $ER\alpha$ than to ERβ. In this way we have developed basic side-chain pyrazoles (BSC-pyrazoles) that are high affinity, potent, selective antagonists on $ER\alpha$. These BSC-pyrazoles are themselves inactive on $ER\alpha$ and ER β , and they antagonize E2 stimulation by ER α only. We investigated seven basic side-chain substituents on various alkyl-triaryl-substituted pyrazoles, and the most $ER\alpha$ -selective compound was methyl-piperidino-pyrazole (MPP). ERa-selective antagonism was observed on diverse reporter-promoter gene constructs containing estrogen response elements that are consensus, non-consensus (pS2), or comprised of multiple half-estrogen response elements (NHERF/EBP50) and on genes in which ER works indirectly by tethering to other DNA-bound proteins (TGF β 3). In contrast to these BSC-pyrazoles, the antiestrogens trans-hydroxytamoxifen, raloxifene, and ICI 182, 780 suppress E2 activity via both $ER\alpha$ and $ER\beta$. The most effective BSC-pyrazole, MPP, fully antagonized E2 stimulation of pS2 mRNA in MCF-7 breast cancer cells, consistent with the fact that these cells contain almost exclusively ERa. These compds. should be useful in studying the biol. functions of ER α and ER β and in selectively blocking responses that are mediated through $ER\alpha$. IT

289726-05-2 289726-06-3 438188-19-3

RL: PAC (Pharmacological activity); BIOL (Biological study)

(antagonists selective for estrogen receptor α)

RN 289726-05-2 CAPLUS

Phenol, 4,4'-[5-[4-[2-(diethylamino)ethoxy]phenyl]-4-ethyl-1H-pyrazole-1,3-CN diyl]bis- (9CI) (CA INDEX NAME)

RN 289726-06-3 CAPLUS
CN Phenol, 4,4'-[5-[4-[2-(dimethylamino)ethoxy]phenyl]-4-ethyl-1H-pyrazole1,3-diyl]bis- (9CI) (CA INDEX NAME)

RN 438188-19-3 CAPLUS
CN Phenol, 4,4'-[5-[4-[2-(diethylamino)ethoxy]phenyl]-4-methyl-1H-pyrazole1,3-diyl]bis- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2000:567788 CAPLUS

DOCUMENT NUMBER:

133:207842

TITLE:

Regioselective synthesis of 1,3,5-triaryl-4-alkylpyrazoles: novel ligands for the estrogen

receptor

AUTHOR (S):

Huang, Ying R.; Katzenellenbogen, John A.

CORPORATE SOURCE:

Department of Chemistry, University of Illinois,

Urbana, IL, 61801, USA

SOURCE:

Organic Letters (2000), 2(18), 2833-2836

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 133:207842

GI

$$N-N$$
 R^2
 R^2

AB A regioselective synthesis of 4-alkyl-1,3,5-triarylpyrazoles I (R1 = OH, R2 = H; R1 = H, R2 = OH) has been developed for the preparation of unsym. substituted systems of interest as ligands for the estrogen receptor. Thus, cyclization of 4-R1C6H4COCH:CHC6H4R4-4 (R1 = OMe R2 = H; R1 = H, R2 = OMe) with PhNHNH2 gave the pyrazolines, which were ethylated in the 4-position followed by oxidation and demethylation to give I n 79-100% yield. IT 289726-05-2P 289726-06-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (regioselective synthesis of triarylalkylpyrazole estrogen receptor ligands)

RN 289726-05-2 CAPLUS

CN Phenol, 4,4'-[5-[4-[2-(diethylamino)ethoxy]phenyl]-4-ethyl-1H-pyrazole-1;3-diyl]bis-(9CI) (CA INDEX NAME)

RN 289726-06-3 CAPLUS

CN Phenol, 4,4'-[5-[4-[2-(dimethylamino)ethoxy]phenyl]-4-ethyl-1H-pyrazole-1,3-diyl]bis- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => file uspatall

FILE 'USPATFULL' ENTERED AT 14:19:18 ON 22 NOV 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 14:19:18 ON 22 NOV 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L5

STR

G1 SO2, 0, S

G2 C, O, S, N, X, Cb, CF3, OH, CN, NH2

G3 Ak, X, CN, OH, MeO, NH2

Structure attributes must be viewed using STN Express query preparation.

L7 232 SEA FILE=REGISTRY SSS FUL L5

L9 2 SEA L7

=> d 19 1-2 ibib abs hitstr

L9 ANSWER 1 OF 2 USPATFULL on STN

ACCESSION NUMBER:

2004:152253 USPATFULL

TITLE:

Pyrazole derivatives

INVENTOR(S):

Shirai, Fumiyuki, Osaka, JAPAN Azami, Hidenori, Osaka, JAPAN Kayakiri, Natsuko, Osaka, JAPAN

Okumura, Kazuo, Osaka, JAPAN Nakamura, Katsuya, Osaka, JAPAN

PATENT ASSIGNEE(S):

FUJISAWA PHARMACEUTICAL CO., LTD., Osaka-shi, JAPAN

(non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 2004116475 US 2003-706999	A1	20040617 20031114	(10)

> AU 2002-2002953602 20021230 AU 2003-2003902015 20030429

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C., 1940

DUKE STREET, ALEXANDRIA, VA, 22314

NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM: 1 LINE COUNT: 9237

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of the formula (I): ##STR1##

CN

```
wherein R.sup.1 is hydrogen or lower alkyl;
       R.sup.2 is lower alkyl, etc.;
       R.sup.3 is lower alkoxy, etc.;
       R.sup.4 is hydroxy, etc.;
       X is O, S, etc.;
       Y is CH or N;
       Z is lower alkylene or lower alkenylene; and
       m is 0 or 1; or salts thereof, which are useful as a medicament.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 705933-39-7P 705933-40-0P 705933-43-3P
      705933-44-4P 705933-54-6P 705933-55-7P
      705933-56-8P 705933-61-5P 705933-62-6P
      705933-77-3P 705933-78-4P 705933-91-1P
      705934-11-8P 705934-12-9P 705934-18-5P
      705934-71-0P 705934-78-7P 705934-81-2P
      705934-83-4P 705935-01-9P 705935-20-2P
      705935-38-2P 705935-39-3P 705935-62-2P
      705935-72-4P 705935-73-5P 705935-76-8P
      705935-78-0P 705935-81-5P 705935-87-1P
      705936-89-6P 705937-04-8P 705937-90-2P
      705937-91-3P 705937-94-6P 705937-95-7P
      705938-12-1P 705938-44-9P
        (preparation of pyrazole derivs. useful as COX-1 inhibitors)
RN
     705933-39-7 USPATFULL
     Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-
CN
       yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
      OMe
                     -CH_2-CH_2-NH-C-OBu-t
i-Pr
     705933-40-0 USPATFULL
RN
```

Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-

yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

oMe
$$0-CH_2-CH_2-NH_2$$

$$i-Pr$$

● HCl

RN 705933-43-3 USPATFULL

CN Carbamic acid, [2-[4-[3-(1-hydroxy-1-methylethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705933-44-4 USPATFULL

CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705933-54-6 USPATFULL

CN Carbamic acid, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705933-55-7 USPATFULL

CN 1H-Pyrazol-3-amine, 5-[4-(2-aminoethoxy)phenyl]-1-(4-methoxyphenyl)-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \\ \text{N} \\ \\ \text{N} \\ \\ \text{Me}_{2}\text{N} \end{array}$$

HCl

RN 705933-56-8 USPATFULL CN Urea, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

Me₂N

RN 705933-61-5 USPATFULL

CN Carbamic acid, [2-[4-[3-chloro-1-(4-methoxyphenyl)-1H-pyrazol-5-

yl]phenoxy] - (9CI) (CA INDEX NAME)

L9 ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER: 2003:335416 USPATFULL

TITLE: Compounds and methods for inducing apoptosis in

proliferating cells

INVENTOR(S): Chen, Ching-Shih, Upper Arlington, OH, UNITED STATES

Song, Xueqin, Ypsilanti, MI, UNITED STATES Lin, Ho-Pi, Columbus, OH, UNITED STATES

NUMBER KIND DATE
PATENT INFORMATION: US 2003236294 A1 20031225

APPLICATION INFO.: US 2003-409502 A1 20030408 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2002-370664P 20020408 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: CALFEE HALTER & GRISWOLD, LLP, 800 SUPERIOR AVENUE,

SUITE 1400, CLEVELAND, OH, 44114

NUMBER OF CLAIMS: 28 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 12 Drawing Page(s)

LINE COUNT: 2525

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds useful for inducing apoptosis in proliferative cells, particularly cancer cells, including but not limited to prostate cancer, leukemia, non-smalll cell lung cancer, colon cancer, CNS cancer, melanoma, ovarian cancer, renal cancer, bladder cancer, lymphoma, and breast cancer. These compounds are particularly useful in the treatment of androgen-independent cancers, including hormone-refractory prostate cancer. Further provided are methods of treating cancer in a subject in need of such treatment using the compounds of the present invention. Further provided are methods for using the compounds of the present invention to treat, inhibit, or delay the onset of cancer in a subject. Further provided are methods of inducing apoptosis in rapidly proliferating cells, particularly, though not necessarily cancer cells, using the compounds of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 618069-19-5P 618069-20-8P 618069-21-9P

618069-23-1P

(antiproliferative agent; preparation of 1-Ph-1H-pyrazoles for inducing apoptosis in proliferating cells)

RN 618069-19-5 USPATFULL

CN Benzamide, 4-[5-[4-(2-azidoethyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 C r_4 C

RN 618069-20-8 USPATFULL

CN Benzamide, 4-[5-[4-(3-azidopropyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 618069-21-9 USPATFULL

CN Benzamide, 4-[5-[4-(4-azidobutyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 618069-23-1 USPATFULL

CN Benzamide, 4-[5-[4'-(azidomethyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

=>

=> file caplus FILE 'CAPLUS' ENTERED AT 14:45:53 ON 22 NOV 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 22 Nov 2005 VOL 143 ISS 22 FILE LAST UPDATED: 21 Nov 2005 (20051121/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> d que

L1 STR

G1 SO2,0,S

G2 C,O,S,N,X,Cb,CF3,OH,ON,NH2

G3 Ak, X, CN, OH, MeO, NH2

Structure attributes must be viewed using STN Express query preparation.

L3 118 SEA FILE=REGISTRY SSS FUL L1

L4 5 SEA FILE=CAPLUS L3

=> d l4 1-5 ibib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:493684 CAPLUS

DOCUMENT NUMBER:

141:54327

TITLE:

Preparation of pyrazole derivatives useful as COX-1

inhibitors

INVENTOR(S):

Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko;

Okumura, Kazuo; Nakamura, Katsuya

Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 436 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT ASSIGNEE(S):

PATENT INFORMATION:

PA	TENT	NO.			KIN		DATE					ION I			DATE			
WO	2004	0506	32				2004	0617							2	0031	114	
		AE,																
	** •						-	-	-	-		-	-		•	•		
												ES,						
					-		-			•		KR,		•	•	•	•	
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΙ,	NO,	ΝZ,	OM,	PG,	
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,	TR,	
		TT,	TZ,	UA,	ŪĠ,	US,	UZ,	VC,	VN.	YU,	ZA.	ZM,	ZW					
	RW:	BW,												ZM.	ZW.	AM.	AZ.	
				•		•	-	•	•	•	•	CH,	•	•	•	•	•	
		_	-	-	-			•	•	•	•	NL,	•		•	•	•	
												GW,						TG
CA	2505											25059						
	1567																	
EP												81228						
	R:	AT,															PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK		
BR	2003	0163	32		Α		2005	0927	I	3R 2	003-1	16332	2		20	0031	114	
PRIORIT	PRIORITY APPLN. INFO.:		. :					1	AU 20	002-	9530:	19	1	A 20	0021	202		
									7	AU 20	002-	95360)2	7	A 20	00213	230	
												90201						
												JP144						
OTHER C	ATTO CTE	(0)			MADI	D 7 00	149.1	- 4 2 2 2		2	, o	75747	109	,	. 21	,031.	TT4	

OTHER SOURCE(S):

MARPAT 141:54327

Ι

GI

The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; AB R3 = alkoxy, halo, CN, etc.; R4 = H, CN, OH, etc.; X = 0, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; M = 0-1, were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

IT 705933-91-1P 705933-95-5P 705933-98-8P 705934-02-7P 705934-22-1P 705934-71-0P 705934-78-7P 705934-81-2P 705934-83-4P 705935-20-2P 705936-18-1P 705937-86-6P

705938-44-9P 705938-83-6P 705938-87-0P 705938-89-2P 705939-15-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazole derivs. useful as COX-1 inhibitors)

RN 705933-91-1 CAPLUS

CN Benzeneethanamine, 4-[1-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 705933-95-5 CAPLUS

CN Benzenemethanamine, 4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 705933-98-8 CAPLUS

CN Benzenemethanamine, 4-[3-(difluoromethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 705934-02-7 CAPLUS

CN Benzenemethanamine, 4-[1-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 705934-22-1 CAPLUS

CN Carbamic acid, [2-[[[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]methyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Methanesulfonamide, N-[2-[4-[3-(cyclopropylcarbonyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]ethyl]- (9CI) (CA INDEX NAME)

705940-22-3 IT

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrazole derivs. useful as COX-1 inhibitors)

RN 705940-22-3 CAPLUS

1H-Pyrazole-3-carbonitrile, 5-[4-(aminomethyl)phenyl]-1-(4-methoxyphenyl)-CN (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

5

ACCESSION NUMBER:

2004:493568 CAPLUS

DOCUMENT NUMBER:

141:54325

TITLE:

SOURCE:

Preparation of pyrazole derivatives useful as COX-1

inhibitors

INVENTOR(S):

Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko;

Okumura, Kazuo; Nakamura, Katsuya

PATENT ASSIGNEE(S):

Fujisawa Pharmaceutical Co., Ltd., Japan

U.S. Pat. Appl. Publ., 142 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116475	A1	20040617	US 2003-706999	20031114

PRIORITY APPLN. INFO.: AU 2002-953019

AU 2002-953019 A 20021202 AU 2002-953602 A 20021230 AU 2003-902015 A 20030429

OTHER SOURCE(S):

MARPAT 141:54325

GI

$$\begin{array}{c|c}
R^4 - z - x \\
 & \\
R^3 & Y
\end{array}$$
R1

R2

AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc.; R4 = H, CN, OH, etc.; X = 0, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

TT 705933-91-1P 705933-95-5P 705933-98-8P 705934-02-7P 705934-22-1P 705934-71-0P 705934-78-7P 705934-81-2P 705934-83-4P 705935-20-2P 705936-18-1P 705937-86-6P 705938-44-9P 705938-83-6P 705938-87-0P 705938-89-2P 705939-15-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazole derivs. useful as COX-1 inhibitors)

RN 705933-91-1 CAPLUS

CN Benzeneethanamine, 4-[1-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 705933-95-5 CAPLUS

CN Benzenemethanamine, 4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 705933-98-8 CAPLUS

CN Benzenemethanamine, 4-[3-(difluoromethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

OMe
$$\mathsf{CH}_2-\mathsf{NH}_2$$

$$\mathsf{F}_2\mathsf{CH}$$

● HCl

RN 705934-02-7 CAPLUS

CN Benzenemethanamine, 4-[1-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 705934-22-1 CAPLUS

CN Carbamic acid, [2-[[[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]methyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 705934-71-0 CAPLUS

CN Benzeneethanamine, 4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:836766 CAPLUS

DOCUMENT NUMBER: 139:350731

TITLE: Preparation of 1-phenyl-1H-pyrazoles for inducing

apoptosis in proliferating cells

INVENTOR(S): Chen, Ching-shin; Song, Xueqin; Lin, Ho-pi

PATENT ASSIGNEE(S): The Ohio State University Research Foundation, USA

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE		•	APPL		DATE					
	WO 2003086287 WO 2003086287						2003 2004		WO 2003-US10738						2003040		
	W: AE, AG, AL,			AL,	AM,	ΑT,	AU,	AZ,	ВA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,
	TZ, UA, UG,				US,	UΖ,	VC,	VN,	ΥU,	ZA,	ZM,	ZW					
	RW:	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	ΒE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
CA	2485	679			AA 20031023				1	CA 2	003-:	2485	20030408				
	2003						2003										
EP	1499																
•	R:						ES,										PT,
							RO,										
	2005				T2		2005	0922									
PRIORITY	Y APP	LN.	INFO	. :						US 2							
							WO 2	003-1	JS10	738	I	W 20	00304	408			
OTHER SO	MARPAT 139:3507				31												

GI

$$R^2$$
 $N-N$
 $N-N$
 R^3
 $N-N$
 SO_2-NH_2
 II

AB Title compds. I [wherein R1 = carboxamido; R2 = (halo)alkyl; Ar = (un) substituted Ph biphenyl, naphthyl, anthryl, phenanthrenyl, or fluorenyl; and pharmaceutically acceptable salts thereof] were prepared and tested for their effects on cyclooxygenase-2 (COX-2) activity, the viability of human prostate cancer PC-3 cells, and their ability to induce apoptosis in these cells. For example, Claisen condensation of 2-acetylphenanthrene with Et trifluoroacetate in the presence of NaH afforded the 1,3-keto-enol derivative (95%). Reaction with (4-sulfamoylphenyl)hydrazine•HCl in EtOH gave 4-[5-(2-phenanthrenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide (II) in 65% yield. structure-activity anal. of derivs. of the COX-2 inhibitor celecoxib found no correlation between the COX-2 inhibitory and apoptosis-inducing activities. For instance, increased polarity or bulkiness of the terminal Ph ring reduced the ability of compds. to inhibit COX-2, while a certain degree of bulkiness and hydrophobicity in the substituted Ph ring was highly desirable for apoptosis induction in PC-3 cells. Thus, I are useful for inducing apoptosis in proliferating cells, particularly cancer cells, including but not limited to prostate cancer, leukemia, non-small cell lung cancer, colon cancer, CNS cancer, melanoma, ovarian cancer, renal cancer, bladder cancer, lymphoma, and breast cancer. These compds. are particularly useful in the treatment of androgen-independent cancers, including hormone-refractory prostate cancer.

IT 618069-19-5P 618069-20-8P 618069-21-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiproliferative agent; preparation of 1-Ph-1H-pyrazoles for inducing apoptosis in proliferating cells)

RN 618069-19-5 CAPLUS

CN

Benzamide, 4-[5-[4-(2-azidoethyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 C r_4 C r_4 C r_5 C r_5 C r_6 C

RN 618069-20-8 CAPLUS

CN Benzamide, 4-[5-[4-(3-azidopropyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 618069-21-9 CAPLUS

CN Benzamide, 4-[5-[4-(4-azidobutyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:261667 CAPLUS

DOCUMENT NUMBER: 138:287976

Preparation of pyrazole amino acid derivatives for TITLE:

increasing endogenous testosterone levels

Brondyk, William H.; McKenna, Sean; Arkinstall, INVENTOR (S):

Stephen J.

Applied Research Systems ARS Holding N.V., Neth. PATENT ASSIGNEE(S):

Antilles

PCT Int. Appl., 76 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	KIND	DATE	APPLICATION NO.	DATE				
WO 2003	026649		20030403	WO 2002-US30801	20020927				
W:	AE, AG, A	rA , MA , ,	r, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,				
	CO, CR, C	I, CZ, DE	E, DK, DM,	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,				
	GM, HR, H	J, ID, II	, IN, IS,	JP, KE, KG, KP, KR,	KZ, LC, LK, LR,				
	LS, LT, L	I, LV, MA	A, MD, MG,	MK, MN, MW, MX, MZ,	NO, NZ, OM, PH,				
	PL, PT, R	, RU, SI	, SE, SG,	SI, SK, SL, TJ, TM,	TN, TR, TT, TZ,				
	UA, UG, U	, UZ, VN	I, YU, ZA,	ZM, ZW					
RW:	GH, GM, K	LS, MW	, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,				
	KG, KZ, M	, RU, TJ	J, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,				
	FI, FR, G	GR, IE	E, IT, LU,	MC, NL, PT, SE, SK,	TR, BF, BJ, CF,				
	CG, CI, C	I, GA, GN	I, GQ, GW,	ML, MR, NE, SN, TD,	TG				
CA 2458	661	AA	20030403	CA 2002-2458661	20020927				
EP 1441	724	· A1	20040804	EP 2002-766382	20020927				
R:	AT, BE, C	I, DE, DE	C, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,				
	IE, SI, L	, LV, FI	, RO, MK,	CY, AL, TR, BG, CZ,	EE, SK				
JP 2005	504093	Т2	20050210	JP 2003-530286	20020927				
US 2004	198799	A1	20041007	US 2004-489863	20040324				
PRIORITY APP	LN. INFO.:			US 2001-325470P					
			•	WO 2002-US30801	W 20020927				
OTHER SOURCE	:(S):	MARPAT	138:2879						

$$R^2$$
 R^3
 $(X)_{m^-}(Y)_{n^-}Z$
 R^1

Ι

GI

Pyrazole compds., e.g., I [R1 = (un)substituted alk(en)(yn)yl, carbocyclic AB aryl, aralkyl, heteroaryl, heteroalicyclyl, heteroaralkyl, or heteroalicyclylalkyl; R2, R3 = H, (un)substituted alk(en)(yn)yl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, or ring groups defined for R1; X = (hetero)alk(en)(yn)ylene or ring groups defined for R1; Y = (un) substituted amino or methylene, CO, SO2; Z = optionally-substituted alkylamino, an amino acid, or a glycine; m, n = 0 or 1] or their pharmaceutically-acceptable salts were prepared for treatment of conditions, disorders or diseases which would benefit patients by increasing endogenous testosterone levels. Thus, in vivo testosterone induction activities for regioisomeric 5-[2-(4-tert-butylphenyl)-5-pyridin-3(or 4)-yl-2H-pyrazol-3-yl]pentanoic acid [1-carbamoyl-2-(4hydroxyphenyl)ethyl]amide are shown in bar graphs.

IT 373607-61-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole amino acid derivs. for increasing endogenous testosterone levels)

RN 373607-61-5 CAPLUS

CN Benzenepropanamide, $\alpha - [[4 - [3 - [3 - (dimethylamino)phenyl] - 1 - [4 - (1, 1 - dimethylethyl)phenyl] - 1 + pyrazol - 5 - yl]benzoyl]amino] - 4 - hydroxy - , (<math>\alpha$ S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:850926 CAPLUS

DOCUMENT NUMBER:

135:371991

TITLE:

Preparation of pyrazole compounds for treatment of

infertility

INVENTOR (S):

Shroff, Hitesh; Reddy, Adulla P.; El Tayar, Nabil;

Brugger, Nadia; Jorand-Lebrun, Catherine

PATENT ASSIGNEE(S):

Serono Reproductive Biology Institute, Inc., USA

SOURCE:

PCT Int. Appl., 90 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIN	D :	DATE			APPL	ICAT		DATE				
WO 2001087287					A2	-	 2001	1122	,	WO 2	 001-		20010519				
WO 2001087287				A3		2002	0516										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,
		HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	PL,	PT,	RO,
		RU, SD, SE,			SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,
		VN,	ΥU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM			
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
CA	CA 2405507						2001	1122	(CA 2	001-		20010519				
US 2002132844					A1	20020919			1	US 2	001-		20010519				
US 6914069					B2		2005	0705									

	12824				A2 B1		2003			EP :	2001	-9391		20010519					
EP	EP 1282418						2005												
												, LI,	LU,	NL,	SE	, MC	, PT,		
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR								
JP	20045	0110	0		T2		2004	0115		JP :	2001	-5837	55			2001	0519		
AT	30200	2			E		2005	0915		AT :	2001	-9391	.43			2001	0519		
US	20050	2698	35		A1		2005	0203		US :	2004	-9214	71			2004	0819		
PRIORITY	APPL	N. I	NFO.	. :					,	US :	2000	-2058	14P		P	2000	0519		
										US :	2001	-8606	58		A 1	2001	0519		
										WO :	2001	-US16	189		W	2001	0519		
OTHER SO	OURCE (s):			MARE	TA	135:	37199	91								•		

OTHER SOURCE(S): MARPAT 135:371991
GI

$$R^2$$
 R^3
 $(x)_{m} - (y)_{n} - z$
 R^1

AΒ Substituted pyrazole compds. I [R1 is H, optionally substituted alkyl, alkenyl, alkynyl, carbocyclic aryl, aralkyl, heteroaryl, heteroalicycloalkyl, heteroaralkyl or heteroalicycloalkyl; R2, R3 are H, halo, optionally substituted alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, carbocyclic aryl, aralkyl, heteroaryl, heteroalicycloalkyl, heteroaralkyl or heteroalicycloalkyl; X is optionally substituted alkylene, alkenylene, alkynylene, heteroalkylene, heteroalkenylene, heteroalkynynylene, alicyclyl, carbocyclic aryl, heteroalicycloalkyl, heteroaryl, heteroaralkyl, or heteroalicycloalkyl; Y is optionally substituted amino or methylene, carbonyl, sulfonyl; Z is an optionally substituted alkylamine, an amino acid or a glycine; m, n are 0 or 1] or their pharmaceutically acceptable salts were prepared for treatment of mammalian infertility. Thus, tyrosinamide II was prepared by the solid-phase method and shown to be human FSH receptor specific in tests on untransfected CHO parental cells. IT 373607-61-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrazole compds. for treatment of infertility)

RN 373607-61-5 CAPLUS

CN Benzenepropanamide, α-[[4-[3-[3-(dimethylamino)phenyl]-1-[4-(1,1-dimethylethyl)phenyl]-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-,
(αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> => file uspatfull FILE 'USPATFULL' ENTERED AT 14:47:46 ON 22 NOV 2005 CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 22 Nov 2005 (20051122/PD)
FILE LAST UPDATED: 22 Nov 2005 (20051122/ED)
HIGHEST GRANTED PATENT NUMBER: US6968571
HIGHEST APPLICATION PUBLICATION NUMBER: US2005257307
CA INDEXING IS CURRENT THROUGH 22 Nov 2005 (20051122/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 22 Nov 2005 (20051122/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2005

```
USPAT2 is now available. USPATFULL contains full text of the
                                                                             <<<
     original, i.e., the earliest published granted patents or
                                                                             <<<
     applications. USPAT2 contains full text of the latest US publications, starting in 2001, for the inventions covered in
                                                                             <<<
                                                                             <<<
     USPATFULL. A USPATFULL record contains not only the original
                                                                             <<<
     published document but also a list of any subsequent
                                                                             <<<
     publications. The publication number, patent kind code, and
                                                                             <<<
     publication date for all the US publications for an invention
                                                                             <<<
     are displayed in the PI (Patent Information) field of USPATFULL
                                                                             <<<
     records and may be searched in standard search fields, e.g., /PN,
                                                                             <<<
>>>
     /PK, etc.
                                                                             <<<
     USPATFULL and USPAT2 can be accessed and searched together
                                                                             <<<
     through the new cluster USPATALL. Type FILE USPATALL to
                                                                             <<<
     enter this cluster.
                                                                             <<<
                                                                             <<<
     Use USPATALL when searching terms such as patent assignees,
                                                                             <<<
     classifications, or claims, that may potentially change from
                                                                             <<<
     the earliest to the latest publication.
                                                                             <<<
```

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

G1 SO2, O, S

G2 C, O, S, N, X, Cb, CF3, OH, CN, NH2

G3 Ak, X, CN, OH, MeO, NH2

Structure attributes must be viewed using STN Express query preparation.

L3 118 SEA FILE=REGISTRY SSS FUL L1

L5 5 SEA FILE=USPATFULL L3

=> d l5 1-5 ibib abs hitstr

L5 ANSWER 1 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2005:31548 USPATFULL

TITLE: Pharmaceutically active compounds and methods of use

INVENTOR(S): Shroff, Hitesh, Bedford, MA, UNITED STATES

Reddy, Adulla P., Walpole, MA, UNITED STATES
El Tayar, Nabil, Milton, MA, UNITED STATES
Brugger, Nadia, Boston, MA, UNITED STATES
Jorand-Lebrun, Catherine, Minzier, FRANCE

Jorand-Lebrun, Catherine, Minzier, FRANC de Luca, Giampiero, UNITED STATES LR

PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V. (U.S.

corporation)

APPLICATION INFO.: US 2004-921471 A1 20040819 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-860658, filed on 19

May 2001, PENDING

NUMBER DATE

PRIORITY INFORMATION: US 2000-205814P 20000519 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Dike, Bronstein, Roberts & Cushman, Intellectual

Property Practice Group, Edwards & Angell, LLP, P.O.

Box 9169, Boston, MA, 02209

NUMBER OF CLAIMS: 36 EXEMPLARY CLAIM: 1 LINE COUNT: 2230

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides substituted pyrazole compounds, and methods of treatment and pharmaceutical compositions that utilize or comprise one or more such compounds. Compounds of the invention are useful for the treatment of mammalian infertility.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 373607-61-5P

(preparation of pyrazole compds. for treatment of infertility)

RN 373607-61-5 USPATFULL

CN Benzenepropanamide, α -[[4-[3-[3-(dimethylamino)phenyl]-1-[4-(1,1-dimethylethyl)phenyl]-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 2 OF 5 USPATFULL on STN

ACCESSION NUMBER:

2004:255269 USPATFULL

TITLE:

INVENTOR(S):

Methods of increasing endogenous testosterone levels

Brondyk, William H., Mansfield, MA, UNITED STATES

McKenna, Sean, Duxbury, MA, UNITED STATES

Arkinstall, Stephen J., Belmont, MA, UNITED STATES

NUMBER DATE

PRIORITY INFORMATION:

US 2001-325470P 20010927 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C., 1940

DUKE STREET, ALEXANDRIA, VA, 22314

NUMBER OF CLAIMS:

85

EXEMPLARY CLAIM:

1

LINE COUNT: 2372

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to the

The present invention relates to the use of substituted pyrazole compounds to increase endogenous testosterone production. Compounds of the invention are useful for the treatment of conditions, disorders or diseases which would benefit patients by increasing endogenous

testosterone levels.

373607-61-5P

(preparation of pyrazole amino acid derivs. for increasing endogenous testosterone levels)

RN 373607-61-5 USPATFULL

Benzenepropanamide, α -[[4-[3-[3-(dimethylamino)phenyl]-1-[4-(1,1-CN dimethylethyl)phenyl]-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 5 USPATFULL on STN

ACCESSION NUMBER:

2004:152253 USPATFULL

TITLE:

Pyrazole derivatives

INVENTOR (S):

Shirai, Fumiyuki, Osaka, JAPAN Azami, Hidenori, Osaka, JAPAN Kayakiri, Natsuko, Osaka, JAPAN Okumura, Kazuo, Osaka, JAPAN Nakamura, Katsuya, Osaka, JAPAN

PATENT ASSIGNEE(S):

FUJISAWA PHARMACEUTICAL CO., LTD., Osaka-shi, JAPAN

(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004116475	A1	20040617

APPLICATION INFO.:

US 2003-706999 **A1** 20031114 (10)

NUMBER DATE -----PRIORITY INFORMATION: AU 2002-2002953019 20021202

AU 2002-2002953602 20021230 AU 2003-2003902015 20030429

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C., 1940

DUKE STREET, ALEXANDRIA, VA, 22314

NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM: 1 LINE COUNT: 9237

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of the formula (I): ##STR1##

wherein R.sup.1 is hydrogen or lower alkyl;

R.sup.2 is lower alkyl, etc.;

R.sup.3 is lower alkoxy, etc.;

X is O, S, etc.; Y is CH or N; Z is lower alkylene or lower alkenylene; and m is 0 or 1; or salts thereof, which are useful as a medicament. CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 705933-91-1P 705933-95-5P 705933-98-8P 705934-02-7P 705934-22-1P 705934-71-0P 705934-78-7P 705934-81-2P 705934-83-4P 705935-20-2P 705936-18-1P 705937-86-6P 705938-44-9P 705938-83-6P 705938-87-0P 705938-89-2P 705939-15-7P (preparation of pyrazole derivs. useful as COX-1 inhibitors) RN705933-91-1 USPATFULL Benzeneethanamine, 4-[1-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-CNyl] - (9CI) (CA INDEX NAME)

R.sup.4 is hydroxy, etc.;

RN 705933-95-5 USPATFULL CN Benzenemethanamine, 4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OMe} \\ \\ \text{N} \\ \\ \text{F}_3\text{C} \\ \end{array}$$

●2 HCl

CN Benzenemethanamine, 4-[3-(difluoromethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

OMe
$$CH_2 - NH_2$$
 N F_2CH

● HCl

RN 705934-02-7 USPATFULL

CN Benzenemethanamine, 4-[1-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$CH_2-NH_2$$

● HCl

RN 705934-22-1 USPATFULL

CN Carbamic acid, [2-[[[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]methyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

CN Methanesulfonamide, N-[2-[4-[3-(cyclopropylcarbonyl)-1-(4-methoxyphenyl)-1+pyrazol-5-yl]phenyl]ethyl]- (9CI) (CA INDEX NAME)

IT 705940-22-3

(preparation of pyrazole derivs. useful as COX-1 inhibitors)

RN 705940-22-3 USPATFULL

CN 1H-Pyrazole-3-carbonitrile, 5-[4-(aminomethyl)phenyl]-1-(4-methoxyphenyl)(9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 5 USPATFULL on STN

ACCESSION NUMBER:

2003:335416 USPATFULL

TITLE:

Compounds and methods for inducing apoptosis in

proliferating cells

INVENTOR(S):

Chen, Ching-Shih, Upper Arlington, OH, UNITED STATES

Song, Xueqin, Ypsilanti, MI, UNITED STATES Lin, Ho-Pi, Columbus, OH, UNITED STATES

NUMBER	KIND	DATE	
US 2003236294	A1	20031225	
US 2003-409502	A1	20030408	(1

NUMBER DATE

HE 2002 270664P 20020408

PRIORITY INFORMATION: DOCUMENT TYPE:

PATENT INFORMATION: APPLICATION INFO.:

US 2002-370664P 20020408 (60) Utility

FILE SEGMENT: LEGAL REPRESENTATIVE:

CALFEE HALTER & GRISWOLD, LLP, 800 SUPERIOR AVENUE,

SUITE 1400, CLEVELAND, OH, 44114

NUMBER OF CLAIMS:

28

APPLICATION

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS:

12 Drawing Page(s)

LINE COUNT:

2525

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ

Compounds useful for inducing apoptosis in proliferative cells, particularly cancer cells, including but not limited to prostate cancer, leukemia, non-smalll cell lung cancer, colon cancer, CNS cancer, melanoma, ovarian cancer, renal cancer, bladder cancer, lymphoma, and breast cancer. These compounds are particularly useful in the treatment of androgen-independent cancers, including hormone-refractory prostate cancer. Further provided are methods of treating cancer in a subject in need of such treatment using the compounds of the present invention. Further provided are methods for using the compounds of the present invention to treat, inhibit, or delay the onset of cancer in a subject. Further provided are methods of inducing apoptosis in rapidly proliferating cells, particularly, though not necessarily cancer cells, using the compounds of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 618069-19-5P 618069-20-8P 618069-21-9P

(antiproliferative agent; preparation of 1-Ph-1H-pyrazoles for inducing apoptosis in proliferating cells)

RN 618069-19-5 USPATFULL

CN Benzamide, 4-[5-[4-(2-azidoethyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

$$C-NH_2$$
 $N_3-CH_2-CH_2$

RN 618069-20-8 USPATFULL

CN Benzamide, 4-[5-[4-(3-azidopropyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 618069-21-9 USPATFULL

CN Benzamide, 4-[5-[4-(4-azidobutyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 5 USPATFULL on STN

ACCESSION NUMBER:

2002:243653 USPATFULL

TITLE:

Pharmaceutically active compounds and methods of use

INVENTOR (S):

Shroff, Hitesh, Bedford, MA, UNITED STATES Reddy, Adulla P., Walpole, MA, UNITED STATES El Tayar, Nabil, Milton, MA, UNITED STATES Brugger, Nadia, Boston, MA, UNITED STATES Jorand-Lebrun, Catherine, Minzier, FRANCE

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002132844	A1	20020919	
	US 6914069	B2	20050705	
APPLICATION INFO.:	US 2001-860658	A1	20010519	(9)

NUMBER												D	Α	Т	E					
												_	_	_	_	_	_	_	_	

PRIORITY INFORMATION:

US 2000-205814P 20000519 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

Dike, Bronstein, Roberts & Cushman, Intellectual

Property Patent Practice, EDWARDS & ANGELL, LLP, 130

Water Street, Boston, MA, 02109

NUMBER OF CLAIMS:

56

EXEMPLARY CLAIM:

1

LINE COUNT:

2721

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides substituted pyrazole compounds, and methods of treatment and pharmaceutical compositions that utilize or comprise one or more such compounds. Compounds of the invention are useful for the treatment of mammalian infertility.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 373607-61-5P

(preparation of pyrazole compds. for treatment of infertility)

RN 373607-61-5 USPATFULL

CN Benzenepropanamide, α -[[4-[3-[3-(dimethylamino)phenyl]-1-[4-(1,1-dimethylethyl)phenyl]-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=>